Attorney Docket No.: 17243/003001 Patent Application No.: 10/566,193

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:

22511

Melwyn Abreo et al.

Application No.: 10/566,193

Confirmation No.: 5685

Filed: January 30, 2006

Art Unit: 1624

For: PYRIDYL DERIVATIVES AND THEIR USE

AS THERAPEUTIC AGENTS

Examiner: N. E. Jarrell

DECLARATION OF ZAIHUI ZHANG UNDER 37 C.F.R. §1.132

Commissioner for Patents P.O. Box 1450 Alexandria, VA 22313-1450

I, Zaihui Zhang, hereby declare:

- 1. I am one of the inventors of U.S. Patent Application Serial No. 10/566,193 entitled "PYRIDYL DERIVATIVES AND THEIR USE AS THERAPEUTIC AGENTS."
- 2. I or others have prepared the SCD inhibitors shown in the attached Table based on the description in the referenced application.
- 3. I or others have performed SCD inhibition assays based on the assay disclosed in the referenced application, and the inhibition data are shown in the attached Table.
- 4. I hereby declare that all statements made herein of my own knowledge are true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

Respectfully Submitted:

Zaihui Zhang

Date: Oug 15/2008.

APPENDIX: Activity Data for Representative Compounds

Representative activity data for SCD1 inhibitors disclosed in the application. Compounds were assessed using mouse microsomal assay. Data are presented for a representative number of compounds.

Structure	Chemical Name	Example/ % Activity at 10 μM
O NH N N N N N N N N N N N N N N N N N N	1-Phenethyl-3-(6-[4-(2- trifluoromethylbenzoyl)piperazin- 1-yl]pyridin-3-yl}urea	1.2 17.2%
OFFF ONN N N N N N N N N N N N N N N N N N N	1-Benzyl-3-{6-[4-(2- trifluoromethylbenzoyl)piperazin- 1-yl]-pyridin-3-yl}urea	1.3 7.24%
O N N N HN	1-[6-(4- Cyclopentanecarbonylpiperazin-1- yl)pyridin-3-yl]-3-pentylurea	1.5 67.4%
NH CN CN	1-Pentyl-3-{6-[4-(pyridine-4- carbonyl)piperazin-1-yl]-pyridin-3- yl}urea	1.6 86.3%
	1-Pentyl-3-{6-[4-(pyridine-2- carbonyl)piperazin-1-yl]-pyridin-3- yl}urea	1.7 85.7%
F ON NH ON N OF F	1-(4-Fluoro-benzyl)-3-{6-[4-(2-trifluoromethyl-benzoyl)-piperazin-1-yl]-pyridin-3-yl}-urea	1.8

Structure	Chemical Name	Example/ % Activity at 10 µM
O F F F S S N N N N N N N N N N N N N N N	Pentane-1-sulfonic acid {6-[4-(2-trifluoromethylbenzoyf)piperazin-1-yl]pyridin-3-yl} amide	5.8%
OFFF OFFFF	Butane-1-sulfonic acid (6-[4-(2- trifluoromethylbenzoyl)-piperazin- 1-yl]pyridin-3-yl}amide	2.1 38.5%
S. H. N. N. P. F. F. F. S. S. H. N. N. P. S. S. H. S.	Hexane-1-sulfonic acid {6-[4-(2-trifluoromethylbenzoyl)-piperazin-1-yl]pyridin-3-yl}amide	2.2 26%
0 ss.0 N N N N N N N N N N N N N N N N N N N	Pentane-1-sulfonic acid {6-[4-(2-bromobenzoyl)piperazin-1-yl]pyridin-3-yl} amide	2.3 18.2%
SON NO CITY CI	Hexane-1-sulfonic acid {6-[4-(2,5-dichlorobenzoyl)piperazin-1-yl]pyridin-3-yl}amide	2.4 17.1%
	Pentanc-1-sulfonic acid {6-[4-{2,5-dichlorobenzoyl)piperazin-1-yl]pyridin-3-yl}amide	2.5

Structure	Chemical Name	Example/ % Activity at 10 µM
	Hexane-1-sulfonic acid (6-[4- (naphthalene-1-carbonyl)piperazin- 1-yl]pyridin-3-yl}amide	2.6 41.7%
	3-Phenyl- <i>N</i> -{6-[4-(2- trifluoromethylbenzoyl)piperazin- 1-yl]pyridin-3-yl}propionamide	3 9.05%
	Hexanoic acid {6-[4-(2- trifluoromethylbenzoyl)piperazin- 1-yl]pyridin-3-yl}amide	3.2 20.9%
	Hexanoic acid {6-[4-(naphthalene- 1-carbonyl)piperazin-1-yl]pyridin- 3-yl}amide	3.5 12.6%
	Heptanoic acid {6-[4-(naphthalene- 1-carbonyl)piperazin-1-yl]pyridin- 3-yl}amide	3.7 24%
	Cyclohexanecarboxylic acid [6-(4- cyclohexanecarbonylpiperazin-1- yl)pyridin-3-yl]amide	3.8 39.8%

Structure	Chemical Name	Example/ % Activity at 10 μM
F 0,F- F	Cyclohexanecarboxylic acid {6-[4-(2-	3.9
	trifluoromethylbenzoyl)piperazin- 1-yl]pyridin-3-yl}amide	78.2%